



IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicants : Reiner Fischer et al
Serial No. : 10/089,989
Filed : April 2, 2002
For : ACTIVE INGREDIENT COMBINATIONS HAVING
INSECTICIDAL AND ACARICIDAL PROPERTIES
Group Art Unit : 1616
Examiner :

DECLARATION

Dr. Christoph Erdelen hereby declares:

- that he is an agronomist having studied at the University of Bonn, Germany;
- that he received his doctor's degree in agriculture at the University of Bonn, Germany in 1981;
- that he entered the employ of Bayer in 1981;
- that he has specialized in plant protection (entomology);
- that the following tests have been carried out under his supervision and direction.



Example A

Aphis gossypii test

Solvent: 3 parts by weight of dimethylformamide

Emulsifier: 1 part by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cotton leaves (*Gossypium hirsutum*) which are heavily infested by aphids (*Aphis gossypii*) are treated by being dipped into the preparation of the active compound of the desired concentration.

After the specified period of time, the mortality in % is determined. 100 % means that all the aphids have been killed; 0 % means that none of the aphids have been killed.

In this test, for example, the following compounds from the preparation examples show a superior level of activity compared to the prior state of the art:

Table A Page 1

plant damaging insects
Aphis gossypii test

active compound	active compound concentration in ppm	mortality in % after 6 ^d
<hr/>		
Spirodiclofen (Ia)		
known	1,6	0
<hr/>		
Imidacloprid (IIa)		
known	1,6	85
<hr/>		
Spirodiclofen + Imidacloprid (1:1)		
according to the invention		
	1,6 + 1,6	<u>obs.*</u> 95
		<u>cal.**</u> 85

* obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Table A Page 2

plant damaging insects
Aphis gossypii test

active compound	active compound concentration in ppm	mortality in % after 6 ^d
<hr/>		
Spirodiclofen (Ia)		
known	1,6	0
<hr/>		
Thiacloprid (IIb)		
known	1,6	55
<hr/>		
Spirodiclofen + Thiacloprid (1:1)		
according to the invention		
	1,6 + 1,6	<u>obs.*</u> 95
		<u>cal.**</u> 55

* obs. = observed insecticidal efficacy.

** cal. = efficacy calculated with Colby-formula

Example B

Aphis gossypii test/larval mortality

Solvent: 3 parts by weight of dimethylformamide

Emulsifier: 1 part by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cotton leaves (*Gossypium hirsutum*) which are heavily infested by adults and larvae of the cotton aphid (*Aphis gossypii*) are treated by being dipped into the preparation of the active compound of the desired concentration.

After the specified period of time, the mortality of the larvae in % is determined. 100 % means that all the larvae have been killed; 0 % means that none of the larvae have been killed.

In this test, for example, the following compounds from the preparation examples show a superior level of activity compared to the prior state of the art:



Table B Page 1

plant damaging insects
Aphis gossypii test/larval mortality

active compound	active compound concentration in ppm	mortality in % after 6 ^d
<hr/>		
Spirodiclofen (I a)		
known	1,6	0
<hr/>		
Imidacloprid (II a)		
known	1,6	80
<hr/>		
Spirodiclofen + Imidacloprid (1:1)		
according to the invention		
	1,6 + 1,6	<u>obs.*</u> 95 <u>cal.**</u> 80
<hr/>		

* obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Table B Page 2

plant damaging insects
Aphis gossypii test/larvalmortality

active compound	active compound concentration in ppm	mortality in % after 6 ^d
<hr/>		
Spirodiclofen (Ia)		
known	1,6	0
<hr/>		
Thiacloprid (II ₂)		
known	1,6	60
<hr/>		
Spirodiclofen + Thiacloprid (1:1)		
according to the invention		
	1,6 + 1,6	<u>obs.*</u> 95 <u>cal.**</u> 60

* obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example C

Myzus test

Solvent: 3 parts by weight of dimethylformamide

Emulsifier: 1 parts by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) which are heavily infested by aphids (*Myzus persicae*) are treated by being dipped into the preparation of the active compound of the desired concentration.

After the specified period of time, the mortality in % is determined. 100 % means that all the aphids have been killed; 0 % means that none of the aphids have been killed.

According to the present application in this test e.g. the following combination shows a synergistic effect in comparison to the single compounds:

Table C
plant damaging insects
Myzus-test

active compound	active compound concentration in ppm	mortality in % after 1 ^d
<hr/>		
Spirodiclofen (I a)		
known		
	1,6	0
<hr/>		
Imidacloprid (II a)		
known		
	1,6	70
<hr/>		
Spirodiclofen + Imidacloprid (1:1)		
according to the invention		
	1,6 + 1,6	<u>obs.*</u> 98
		<u>cal.**</u> 70

* obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example D

Myzus test/larval mortality

Solvent: 3 parts by weight of dimethylformamide

Emulsifier: 1 parts by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) which are heavily infested by adults and larvae of the green peach aphid (*Myzus persicae*) are treated by being dipped into the preparation of the active compound of the desired concentration.

After the specified period of time, the mortality of the larvae in % is determined. 100 % means that all the larvae have been killed; 0 % means that none of the larvae have been killed.

According to the present application in this test e.g. the following combination shows a synergistic effect in comparison to the single compounds:

Table D

plant damaging insects
Myzus-test/larvaemortality

active compound	active compound concentration in ppm	mortality in % after 6 ^d
Spirodiclofen (I_a)		
known	0,32	0
Imidacloprid (II_a)		
known	0,32	0
Spirodiclofen + Imidacloprid (1:1)		
according to the invention	0,32 + 0,32	<div>obs.*</div> <div>55</div> <div>cal.**</div> <div>0</div>

* obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

The undersigned declarant hereby declares that all statements made herein of his own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

2003-07-22 *Christoph Erdelen*

Date Dr. Christoph Erdelen

Formula for the efficacy of the combination of two compounds

The expected efficacy of a given combination of two compounds is calculated as follows (see Colby, S.R., "Calculating Synergistic and antagonistic Responses of Herbicide Combinations", Weeds 15, pp. 20-22, 1967):

If

X is the efficacy expressed in % mortality of the untreated control for test compound A at a concentration of m ppm,

Y is the efficacy expressed in % mortality of the untreated control for test compound B at a concentration of n ppm,

E is the efficacy expressed in % mortality of the untreated control using the mixture of A and B at m and n ppm,

then is
$$E = X + Y - \frac{X \times Y}{100}$$

If the observed insecticidal efficacy of the combination is higher than the one calculated as „E“, then the combination of the two compounds is more than additive, i.e., there is a synergistic effect.